## PATENT ABSTRACTS OF JAPAN

(11) Publication number:

06-321727

(43) Date of publication of application: 22.11.1994

(51)Int.Cl.

A61K 7/48

A61K 9/06

(21)Application number: 05-136619

(71)Applicant: LION CORP

(22)Date of filing:

14.05.1993

(72)Inventor: ONUMA KATSUNORI

**MITAMURA JIYOUJI** 

### (54) SKIN EXTERNAL PREPARATION

(57)Abstract:

PURPOSE: To obtain a skin external preparation excellent in moisture retaining properties, having high slip and smoothness in using as well as good feeling of use by including one or two or more compounds selected from quanidine derivatives having amide group in the molecule and salts thereof.

CONSTITUTION: This skin external preparation contains one or two or more compounds selected from quanidine derivatives expressed by the formula [R1 is 1-22C branched chain or straight-chain alkyl or alkenyl; A is 1-10C branched chain or straight-chain alkylene or alkenylene; (m) is 0 or 1; (n) is 1-5] and having amide group in the molecule and their salts. The skin external preparation is excellent in moisture retaining effect and

$$R^{\gamma} = \left( \begin{array}{c} C - N - A_{\pi} \\ \parallel & \Pi \end{array} \right)_{\tilde{N}} N - C \stackrel{NH}{\sim} N_{H_{\tilde{N}}}$$

has good slip in using and smooth feeling of use free from stickiness. This skin external preparation does not include skin cleansing agent. As the compound of the formula, e.g. 4guandinobutyldodecaneamide glycolic acid salt, 2-guanidinoethyl octadecanamide hydrochloride, etc., is used.

#### **LEGAL STATUS**

Searching PAJ Page 2 of 2

[Date of request for examination]

[Date of sending the examiner's decision of rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

Copyright (C); 1998,2003 Japan Patent Office

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### **CLAIMS**

[Claim(s)]
[Claim 1] The following general formula [\*\* 1]  $R^{2} \leftarrow \left( \begin{array}{c} C - N - A_{m} \\ \parallel \\ O \end{array} \right)_{n} N - C \leqslant \begin{array}{c} NH \\ NH_{2} \end{array}$ 

(However, R1 is the alkyl group or alkenyl radical of the shape of branched-chain [ of carbon numbers 1-22 ], or a straight chain among a formula.) A is the alkylene group or alkenylene group of the shape of branched-chain [ of carbon numbers 1-10 ], or a straight chain. m -- 0 or 1, and n -- the integer of 1-5 -- being shown -- the external preparations for the skins (however, the cleaning agent for the skins is removed) characterized by containing one sort chosen from the guanidine derivative which has an amide group in the intramolecular expressed, and its salt, or two sorts or more.

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### DETAILED DESCRIPTION

[Detailed Description of the Invention]

[0001]

[Industrial Application] This invention has skin external preparations, spread nature (mileage) high [excelling in moistness in more detail / at the time of use], and smooth nature, and relates to skin external preparations with a good feeling of use.
[0002]

[Description of the Prior Art] Although blending water-soluble polyhydric alcohol and water soluble polymers, such as a glycerol and 1 and 3-butylene glycol, so much in order to give admiration, i.e., a moisturizincy effect, conventionally to the charge of makeup gently had been performed, when many these water solubility polyhydric alcohol and water soluble polymers were blended, stickiness came to be sensed, and mileage worsened at the time of spreading, and there was a fault that the concordance to the skin was bad. Moreover, although blending the oils of the shape of a paste, such as lanolin and vaseline, in order to obtain the good feeling of use of a feeling of oiliness had been performed, while the

vaseline, in order to obtain the good feeling of use of a feeling of oiliness had been performed, while the good feeling of use of a feeling of oiliness was obtained, it was difficult [ it was smooth, and ] for there to have been a fault that stickiness comes to be sensed and to also have made admiration have gently.

[0003]

[Problem(s) to be Solved by the Invention] This invention makes it the technical problem to offer the skin external preparations which it excels in a moisturizincy effect, and the mileage at the time of use is good, is not sticky, and have a smooth feeling of use.

[0004]

[Means for Solving the Problem] this invention persons came to complete this invention, as a result of repeating examination wholeheartedly that said technical problem should be solved. That is, according to this invention, the skin external preparations (however, the cleaning agent for the skins is removed) characterized by containing one sort chosen from the guanidine derivative which has an amide group in the intramolecular expressed with the following general formula, and its salt, or two sorts or more are offered.

[Formula 1]
$$R^{1} \leftarrow \left( \begin{array}{c} C - N - A_{m} \\ I \\ O \end{array} \right)_{n} N - C \leqslant \begin{array}{c} NH \\ NH_{z} \end{array}$$
(1)

(However, R1 is the alkyl group or alkenyl radical of the shape of the letter of branching of carbon numbers 1-22, or a straight chain among a formula, A is the alkylene group or alkenylene group of the shape of the letter of branching of carbon numbers 1-10, or a straight chain, and, as for m, 0 or 1, and n show the integer of 1-5)

[0005] Generally a Monod N-permutation guanidine derivative Since it has in intramolecular the guanidine radical which is a strong base nature machine and this radical has resonance mold univalent

anion kinds, such as a carboxy anion, the firm electrostatic effect which can make a firm twins nature ion object, and hydrogen bond ability Since very big compatibility is shown to protein fibers, such as a collagen which has a resonance mold univalent anion kind in an end side chain, and the abovementioned anion kind and twins nature ion are moreover formed, the adsorption power is also powerful. The fixing ability of the guanidine derivative expressed with said general formula (1) obtained by introducing an amide group into the substituent of such a Monod N-permutation guanidine derivative of a water molecule improves by installation of an amide group, and it is excellent in the moistness grant effectiveness to the skin while compatibility with protein, such as a collagen, is very strong and sticks to the skin good. Therefore, the skin external preparations which blended this guanidine derivative can give moisturizincy effect sufficient in the amount which does not hurt the feel at the time of use of skin external preparations. Furthermore, since an oil component is not necessarily added, blending the guanidine derivative by this invention with skin external preparations does not degrade the acidity or alkalinity of skin external preparations, and it is excellent in the feel at the time of use. [0006] Hereafter, lessons is taken from this invention and it explains in full detail further. The charge of hair makeup of this invention is characterized by containing the guanidine derivative which has an amide group in the intramolecular shown by said general formula (1) as an indispensable component, and its salt.

[0007] It is the alkyl group or alkenyl radical of the shape of branched-chain [ of 11-19 ], or a straight chain preferably. here -- the substituent R1 in general formula (1) type -- carbon numbers 1-22 -- For example, radicals, such as C11H23-, C12H25-, C13H27-, C14H29-, C15H31-, C16H33-, C17H35-, 2 (C8H17) CH-, and 4-C2H5C15H30-, are suitable.

[0008] moreover, the substituent A -- carbon numbers 1-10 -- it is the alkylene group or alkenylene group of the shape of branched-chain [ of 2-6 ], or a straight chain preferably, for example, a methylene group, ethylene, a propylene radical, a butylene radical, a pentene radical, a hexylene radical, an isopropanal pyrene radical, 2-pentenyl radical, 2-ethyl butylene radical, etc. are mentioned. m is 1 or 0 and n is the integer of 1-5.

[0009] Although the guanidine derivative expressed with a general formula (1) is blended in the form of a salt, usually As a salt in this case, although it can specifically use as organic-acid salts, such as a glycolic-acid salt besides inorganic-acid salts, such as a hydrochloride, acetate, citrate, and an acidic-amino-acid salt, etc. When considering as an inorganic-acid salt and considering as a hydrochloride and an organic-acid salt from points to water, such as solubility, a glycolic-acid salt is desirable. although the guanidine derivative of the general formula (1) used by this invention and its salt may be blended independently, or may blend two or more sorts and especially the loadings are not restricted, it is good among skin external preparations to specify in 0.05 - 10% (% of the weight and the following -- the same) of range. If the moisturization grant effectiveness of loadings may not be enough at less than 0.05% and they exceed 10%, it is not only economically disadvantageous, but it will be sticky rather and the feel at the time of use will get worse.

[0010] The raw material usually used [ water / oil, water, a surfactant, a moisturizer, lower alcohol, a thickener, an anti-oxidant, a chelating agent, pH regulator, antiseptics, perfume, coloring matter, an ultraviolet ray absorbent, vitamins, amino acid, ] for the charge soap of makeup etc. other than the above-mentioned indispensable component can be blended with the external preparations of this invention. As oil, specifically Olive oil, jojoba oil, castor oil, cacao butter, Camellia oil, palm oil, haze wax, a clay BUSHIDO oil, an avocado oil, a mink oil, Fats and oils, such as a yolk oil and hardened oil; Spermaceti wax, beeswax, lanolin, a carnauba wax, Lows, such as a candelilla low; A liquid paraffin, a ceresin, squalane, Hydrocarbons, such as a micro crystallin wax, paraffin wax, and vaseline; Stearin acid, Fatty acids, such as oleic acid, a lauric acid, a myristic acid, isostearic acid, and behenic acid; Cetanol, Stearyl alcohol, RANORIRU alcohol, an octyl dodecanol, Alcohols, such as hexyl decanol; Myristic-acid isopropyl, Ester, such as butyl stearate, glyceryl monostearate, palmitic-acid isopropyl, myristic-acid octyldodecyl, oleic acid octyldodecyl, and cholesterol orate, is illustrated. As a surface

active agent, moreover, a sodium stearate, a cetyl sodium sulfate, A polyoxyethylene lauryl ether phosphoric acid, sodium laurylphosphate, Anionic surface active agents, such as palmitic-acid triethanol and N-acyl sodium glutamate; Stearyldimethylbenzylammonium chloride, Cationic surface active agents, such as stearyl chloride trimethylammonium; A hydrochloric-acid alkyl aminoethyl glycine salt, Amphoteric surface active agents, such as lecithin; Glyceryl monostearate, monostearin acid sorbitan, Sucrose fatty acid ester, monostearin acid propylene glycol, the polyoxyethylene oleyl ether, A monostearin acid polyethylene glycol, mono-palmitic-acid polyoxyethylene sorbitan, Nonionic surface active agents, such as polyoxyethylene coconut fatty-acid monoethanolamide, a polyoxyethylene polyoxypropylene glycol, polyoxyethylene castor oil, and polyoxyethylene lanolin, etc. can be illustrated.

[0011] As a moisturizer, water soluble polymer matter, such as NMF components, such as polyhydricalcohol; amino acid, such as a glycerol, 1, 3-butylene glycol, propylene glycol, a sorbitol, a polyethylene glycol, and dipropylene glycol, sodium lactate, and pyrrolidone carboxylic-acid sodium, hyaluronic acid, a collagen, a mucopolysaccharide, and chondroitin sulfate, etc. can be illustrated. Ethanol, isopropanol, etc. can be illustrated as lower alcohol. As a thickener, synthetic macromolecule matter, such as semi-synthetic polymer matter; carboxyvinyl polymers, such as naturally-ocurring-polymers matter; methyl cellulose, such as sodium alginate, xanthan gum, aluminum silicate, a quince seed extract, tragacanth gum, and starch, hydroxyethyl cellulose, a carboxymethyl cellulose, soluble starch, and a cation-ized cellulose, and polyvinyl alcohol, etc. can be illustrated. As an anti-oxidant, dibutylhydroxytoluene, burylhydroxyanisole, propyl gallate, an ascorbic acid, etc. can be illustrated. As a chelating agent, the disodium edetate, ethane hydroxy diphosphate, a pyrophosphate, a hexametaphosphoric acid salt, a citric acid, a tartaric acid, a gluconic acid, etc. can be illustrated. As a pH regulator, a sodium hydroxide, triethanolamine, a citric acid, a sodium citrate, a boric acid, a borax, phosphoric-acid-hydrogen sodium, etc. can be illustrated. As antiseptics, methyl parahydroxybenzoate, ethyl p-hydroxybenzoate, a dehydroacetic acid, a salicylic acid, a benzoic acid, a sorbic acid, a benzalkonium chloride, etc. can be illustrated.

[0012] As an ultraviolet ray absorbent, 2-hydroxy-4-methoxybenzophenone, octyl dimethyl para-aminobenzoate, ethylhexyl PARAMETOKISHI cynamate, titanium oxide, a kaolin, talc, etc. can be illustrated. As vitamins, vitamin B, vitamin C, vitamin D, vitamin E, vitamin F, a vitamin K, vitamin P, vitamin U, carnitine, ferulic acid, gamma-orizanol, alpha-lipoic acid, oroticacid, its derivative, etc. can be illustrated. As amino acid, a glycine, an alanine, a valine, a leucine, an isoleucine, a serine, a threonine, a phenylalanine, a thyrosin, a tryptophan, a cystine, a cystine, a methionine, a proline, a hydroxyproline, an aspartic acid, glutamic acid, an arginine, a histidine, a lysine, its derivative, etc. can be illustrated. In addition, an arbitration component is not limited to these. By blending the above-mentioned indispensable component and an arbitration component suitably, it is possible to use as various product gestalten, such as face toilet, a cream, a pack agent, lotion skim milk, and a milky lotion.

[0013]

[Effect of the Invention] According to this invention, it excels in moistness and skin external preparations also with the good feel at the time of use (mileage easy, smoothness) are offered. The skin external preparations of this invention are applied with various product gestalten, such as the various creams for makeup, a milky lotion, face toilet, cosmetics essence, a pack agent, a lip cream, a lip stick, an undershirt makeup, foundation, SANKEA, a body rinse, ointment, jellies, and aerosols. In addition, the cleaning agent for the skins is not included in the external preparations for the skins of this invention.

[0014]

[Example] Next, an example and the example of a comparison explain this invention to a detail further. This invention is not limited by these.

[0015] The cream of the component presentation (% of the weight) shown in one to examples 1-5 and

example of comparison 4 table 1 was prepared, and organic-functions evaluation was gently carried out by the evaluating point criteria and the criterion after the smoothness at the time of use, a mileage easy, a lack [ stickiness ], and use which are shown below by 20 female panelists about admiration. The result is written together to Table 1.

[0016] (Evaluating point criteria)

It is very good. ..... Four points are good. ... Common three points ... Two points are bad. ... One point is very bad. ... Zero point (criterion)

The average mark is [... x [Table 1]] 4.0 or less [3.0 or more]..... O average mark is less than [2.0 or more] 3.0... O average mark is less than [1.0 or more] 2.0... \*\* average mark is less than [0 or more] 1.0.

[0017]

	成分	実 施 例					比較例				
		1	2	3	4	5	1	2	3	4	
	4-97=ジノブチルドデカンアミド・ グリコール酸塩	2.0	1.0	-	0.04	10.5	-	-	-		
組	2-97=3/ユテルオクタデカナミド 塩酸塩	-	1.0	2.0	1		_	_	-	_	
	塩化ステアワルトリメチルアンモニウム	-	1	, 1	1	ı	2.0	-	-	_	
	ワセリン	_	_	1	ı	ı	-	8.0		_	
	グリセリン	-		-1.0					7.0	1.0	
	流動パラフィン	-			<u> </u>	.0-					
成	ポリエチレングリコール 1500	3.0						<del>-</del>			
	ステアリン酸	2.5									
	セタノール	4	· · · · · ·		1	. 5 —		.,,,-			
%	防腐剤	•			- 微	1	1				
	香料	<b>卷</b>					-				
	精製水	<b>←</b> パランス →									
	使用後のしっとり感(保温性)	0	0	0	Δ	0	Δ	Δ	0	×	
性	使用時のなめらかさ	0	0	0	Δ	0	Δ	Δ	Δ	×	
能	使用時間付き	0	0	Ö	Δ	0	Δ	Δ	Δ	Δ	
	使用時のべとつきのなさ	0	0	0	0	Δ	0	×	×	0	

[0018] It turns out that the skin external preparations containing the component shown by the general formula (1) are excellent in a moisturizincy effect, and the mileage at the time of use is good, and it is not sticky, and has a smooth feeling of use so that clearly from Table 1 (examples 1, 2, and 3). Moreover, if the above-mentioned active principle is a little inferior to admiration gently and exceeds 10 % of the weight at less than (example 4) 0.05 % of the weight (example 5), stickiness will arise a little. On the other hand, the moistness excellent in the oils of the shape of a paste, such as a 4th class-ized ammonium type cation activator and vaseline, and water-soluble polyhydric alcohol and skin external

preparations having a good use feel cannot be obtained (examples 1-4 of a comparison). [0019] Next, the example of a formula of this invention skin external preparations is shown. [0020]

The example 1 (milk lotion) of a formula

Stearin acid 2.0wt(s)% Cetanol 1.0wt(s)% Lanolin 2.0wt(s)% 2-guanidino ethyl

OKUTADEKANAMIDO acetate 1.5wt(s)% Squalane A 3.0wt% liquid paraffin 8.0wt(s)% POE(10) lauryl ether 2.0wt(s)% Propylene glycol 7.0wt% Perfume 0.4wt% Methylparaben 0.1wt% Purified water Remainder [0021]

The example 2 (vanishing cream) of a formula

A mono-myristic-acid glycerol 1.0wt(s)% Yellow bees wax 3.0wt(s)% Cetanol 4.0wt(s)% 2-guanidino ethyl tetra-DEKANAMIDO acetate 1.5wt(s)% Liquid paraffin 5.0wt(s)% Olive oil 1.5wt(s)% POE (5) hydrogenated castor oil 1.0wt(s)% Triethanolamine 0.8wt(s)% Propylene glycol 7.0wt(s)% Perfume 0.4wt% Butylparaben 0.1wt% Purified water Remainder [0022]

The example 3 (cold cream) of a formula

Yellow bees wax 5.0wt(s)% Cetanol 8.0wt(s)% White vaseline 3.0wt(s)% Mono-palmitic-acid glycerol 1.0wt(s)% 4-guanidino butyl DODEKANAMIDO glycolic-acid salt 2.0wt% Squalane 1.0wt(s)% Liquid paraffin 20.0wt(s)% POE(7) cetyl ether 1.5wt(s)% Propylene glycol 5.0wt(s)% Perfume 0.4wt(s)% Butylparaben 0.05wt% Methylparaben 0.1wt% Purified water Remainder [0023]

The example 4 (night cream) of a formula

Solid-state paraffin 3.0wt(s)% Cetanol 3.0wt(s)% Liquid paraffin 3.0wt(s)% Dimethicone (5000cst) 5.0wt(s)% Oleic acid A 2.0wt% 2-guanidino ethyl hexa DEKANAMIDO hydrochloride 1.0wt% POE(5) glyceryl TORIISO stearate 1.0wt(s)% POE(30) nonylphenyl ether 1.0wt(s)% Perfume 0.4wt% Methylparaben 0.1wt% Butylparaben 0.1wt% Purified water Remainder

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### TECHNICAL FIELD

[Industrial Application] This invention has skin external preparations, spread nature (mileage) high [excelling in moistness in more detail / at the time of use], and smooth nature, and relates to skin external preparations with a good feeling of use.

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### EFFECT OF THE INVENTION

[Effect of the Invention] According to this invention, it excels in moistness and skin external preparations also with the good feel at the time of use (mileage easy, smoothness) are offered. The skin external preparations of this invention are applied with various product gestalten, such as the various creams for makeup, a milky lotion, face toilet, cosmetics essence, a pack agent, a lip cream, a lip stick, an undershirt makeup, foundation, SANKEA, a body rinse, ointment, jellies, and aerosols. In addition, the cleaning agent for the skins is not included in the external preparations for the skins of this invention.

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### TECHNICAL PROBLEM

[Problem(s) to be Solved by the Invention] This invention makes it the technical problem to offer the skin external preparations which it excels in a moisturizincy effect, and the mileage at the time of use is good, is not sticky, and have a smooth feeling of use.

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### **MEANS**

[Means for Solving the Problem] this invention persons came to complete this invention, as a result of repeating examination wholeheartedly that said technical problem should be solved. That is, according to this invention, the skin external preparations (however, the cleaning agent for the skins is removed) characterized by containing one sort chosen from the guanidine derivative which has an amide group in the intramolecular expressed with the following general formula, and its salt, or two sorts or more are offered.

[Formula 1]
$$R^{1} \leftarrow \left( \begin{array}{c} C - N - A_{m} \\ \parallel \\ O \end{array} \right)_{n} N - C \leqslant \begin{array}{c} NH \\ NH_{2} \end{array}$$
(1)

(However, R1 is the alkyl group or alkenyl radical of the shape of the letter of branching of carbon numbers 1-22, or a straight chain among a formula, A is the alkylene group or alkenylene group of the shape of the letter of branching of carbon numbers 1-10, or a straight chain, and, as for m, 0 or 1, and n show the integer of 1-5)

[0005] Generally a Monod N-permutation guanidine derivative Since it has in intramolecular the guanidine radical which is a strong base nature machine and this radical has resonance mold univalent anion kinds, such as a carboxy anion, the firm electrostatic effect which can make a firm twins nature ion object, and hydrogen bond ability Since very big compatibility is shown to protein fibers, such as a collagen which has a resonance mold univalent anion kind in an end side chain, and the abovementioned anion kind and twins nature ion are moreover formed, the adsorption power is also powerful. The fixing ability of the guanidine derivative expressed with said general formula (1) obtained by introducing an amide group into the substituent of such a Monod N-permutation guanidine derivative of a water molecule improves by installation of an amide group, and it is excellent in the moistness grant effectiveness to the skin while compatibility with protein, such as a collagen, is very strong and sticks to the skin good. Therefore, the skin external preparations which blended this guanidine derivative can give moisturizincy effect sufficient in the amount which does not hurt the feel at the time of use of skin external preparations. Furthermore, since an oil component is not necessarily added, blending the guanidine derivative by this invention with skin external preparations does not degrade the acidity or alkalinity of skin external preparations, and it is excellent in the feel at the time of use. [0006] Hereafter, lessons is taken from this invention and it explains in full detail further. The charge of

[0006] Hereafter, lessons is taken from this invention and it explains in full detail further. The charge of hair makeup of this invention is characterized by containing the guanidine derivative which has an amide group in the intramolecular shown by said general formula (1) as an indispensable component, and its salt.

[0007] It is the alkyl group or alkenyl radical of the shape of branched-chain [ of 11-19 ], or a straight chain preferably. here -- the substituent R1 in general formula (1) type -- carbon numbers 1-22 -- For example, radicals, such as C11H23-, C12H25-, C13H27-, C14H29-, C15H31-, C16H33-, C17H35-, 2

(C8H17) CH-, and 4-C2H5C15H30-, are suitable.

[0008] moreover, the substituent A -- carbon numbers 1-10 -- it is the alkylene group or alkenylene group of the shape of branched-chain [ of 2-6 ], or a straight chain preferably, for example, a methylene group, ethylene, a propylene radical, a butylene radical, a pentene radical, a hexylene radical, an isopropanal pyrene radical, 2-pentenyl radical, 2-ethyl butylene radical, etc. are mentioned. m is 1 or 0 and n is the integer of 1-5.

[0009] Although the guanidine derivative expressed with a general formula (1) is blended in the form of a salt, usually As a salt in this case, although it can specifically use as organic-acid salts, such as a glycolic-acid salt besides inorganic-acid salts, such as a hydrochloride, acetate, citrate, and an acidic-amino-acid salt, etc. When considering as an inorganic-acid salt and considering as a hydrochloride and an organic-acid salt from points to water, such as solubility, a glycolic-acid salt is desirable. although the guanidine derivative of the general formula (1) used by this invention and its salt may be blended independently, or may blend two or more sorts and especially the loadings are not restricted, it is good among skin external preparations to specify in 0.05 - 10% (% of the weight and the following -- the same) of range. If the moisturization grant effectiveness of loadings may not be enough at less than 0.05% and they exceed 10%, it is not only economically disadvantageous, but it will be sticky rather and the feel at the time of use will get worse.

[0010] The raw material usually used [ water / oil, water, a surfactant, a moisturizer, lower alcohol, a thickener, an anti-oxidant, a chelating agent, pH regulator, antiseptics, perfume, coloring matter, an ultraviolet ray absorbent, vitamins, amino acid, ] for the charge soap of makeup etc. other than the above-mentioned indispensable component can be blended with the external preparations of this invention. As oil, specifically Olive oil, jojoba oil, castor oil, cacao butter, Camellia oil, palm oil, haze wax, a clay BUSHIDO oil, an avocado oil, a mink oil, Fats and oils, such as a yolk oil and hardened oil; Spermaceti wax, beeswax, lanolin, a carnauba wax, Lows, such as a candelilla low; A liquid paraffin, a ceresin, squalane, Hydrocarbons, such as a micro crystallin wax, paraffin wax, and vaseline; Stearin acid. Fatty acids, such as oleic acid, a lauric acid, a myristic acid, isostearic acid, and behenic acid; Cetanol, Stearyl alcohol, RANORIRU alcohol, an octyl dodecanol, Alcohols, such as hexyl decanol; Myristic-acid isopropyl, Ester, such as butyl stearate, glyceryl monostearate, palmitic-acid isopropyl, myristic-acid octyldodecyl, oleic acid octyldodecyl, and cholesterol orate, is illustrated. As a surface active agent, moreover, a sodium stearate, a cetyl sodium sulfate, A polyoxyethylene lauryl ether phosphoric acid, sodium laurylphosphate, Anionic surface active agents, such as palmitic-acid triethanol and N-acyl sodium glutamate; Stearyldimethylbenzylammonium chloride, Cationic surface active agents, such as stearyl chloride trimethylammonium; A hydrochloric-acid alkyl aminoethyl glycine salt, Amphoteric surface active agents, such as lecithin; Glyceryl monostearate, monostearin acid sorbitan, Sucrose fatty acid ester, monostearin acid propylene glycol, the polyoxyethylene oleyl ether, A monostearin acid polyethylene glycol, mono-palmitic-acid polyoxyethylene sorbitan, Nonionic surface active agents, such as polyoxyethylene coconut fatty-acid monoethanolamide, a polyoxyethylene polyoxypropylene glycol, polyoxyethylene castor oil, and polyoxyethylene lanolin, etc. can be illustrated.

[0011] As a moisturizer, water soluble polymer matter, such as NMF components, such as polyhydricalcohol; amino acid, such as a glycerol, 1, 3-butylene glycol, propylene glycol, a sorbitol, a polyethylene glycol, and dipropylene glycol, sodium lactate, and pyrrolidone carboxylic-acid sodium, hyaluronic acid, a collagen, a mucopolysaccharide, and chondroitin sulfate, etc. can be illustrated. Ethanol, isopropanol, etc. can be illustrated as lower alcohol. As a thickener, synthetic macromolecule matter, such as semi-synthetic polymer matter; carboxyvinyl polymers, such as naturally-ocurring-polymers matter; methyl cellulose, such as sodium alginate, xanthan gum, aluminum silicate, a quince seed extract, tragacanth gum, and starch, hydroxyethyl cellulose, a carboxymethyl cellulose, soluble starch, and a cation-ized cellulose, and polyvinyl alcohol, etc. can be illustrated. As an anti-oxidant, dibutylhydroxytoluene, burylhydroxyanisole, propyl gallate, an ascorbic acid, etc. can be illustrated. As

a chelating agent, the disodium edetate, ethane hydroxy diphosphate, a pyrophosphate, a hexametaphosphoric acid salt, a citric acid, a tartaric acid, a gluconic acid, etc. can be illustrated. As a pH regulator, a sodium hydroxide, triethanolamine, a citric acid, a sodium citrate, a boric acid, a borax, phosphoric-acid-hydrogen sodium, etc. can be illustrated. As antiseptics, methyl parahydroxybenzoate, ethyl p-hydroxybenzoate, a dehydroacetic acid, a salicylic acid, a benzoic acid, a sorbic acid, a benzalkonium chloride, etc. can be illustrated.

[0012] As an ultraviolet ray absorbent, 2-hydroxy-4-methoxybenzophenone, octyl dimethyl para-aminobenzoate, ethylhexyl PARAMETOKISHI cynamate, titanium oxide, a kaolin, talc, etc. can be illustrated. As vitamins, vitamin B, vitamin C, vitamin D, vitamin E, vitamin F, a vitamin K, vitamin P, vitamin U, carnitine, ferulic acid, gamma-orizanol, alpha-lipoic acid, oroticacid, its derivative, etc. can be illustrated. As amino acid, a glycine, an alanine, a valine, a leucine, an isoleucine, a serine, a threonine, a phenylalanine, a thyrosin, a tryptophan, a cystine, a cystine, a methionine, a proline, a hydroxyproline, an aspartic acid, glutamic acid, an arginine, a histidine, a lysine, its derivative, etc. can be illustrated. In addition, an arbitration component is not limited to these. By blending the above-mentioned indispensable component and an arbitration component suitably, it is possible to use as various product gestalten, such as face toilet, a cream, a pack agent, lotion skim milk, and a milky lotion.

JPO and NCIPI are not responsible for any damages caused by the use of this translation.

- 1. This document has been translated by computer. So the translation may not reflect the original precisely.
- 2.\*\*\*\* shows the word which can not be translated.
- 3.In the drawings, any words are not translated.

#### **EXAMPLE**

[Example] Next, an example and the example of a comparison explain this invention to a detail further. This invention is not limited by these.

[0015] The cream of the component presentation (% of the weight) shown in one to examples 1-5 and example of comparison 4 table 1 was prepared, and organic-functions evaluation was gently carried out by the evaluating point criteria and the criterion after the smoothness at the time of use, a mileage easy, a lack [ stickiness ], and use which are shown below by 20 female panelists about admiration. The result is written together to Table 1.

[0016] (Evaluating point criteria)

It is very good. ..... Four points are good. ... Common three points ... Two points are bad. ... One point is very bad. ... Zero point (criterion)

The average mark is [ ... x [Table 1] ] 4.0 or less [ 3.0 or more ] ...... O average mark is less than [ 2.0 or more ] 3.0... O average mark is less than [ 1.0 or more ] 2.0... \*\* average mark is less than [ 0 or more ] 1.0.

[0017]

	成 分		夷	施	例		Ŀ	上数	例		
		1	2	3	4	5	1	2	3	4	
	4-47=ジノブテルドデカンアミド・ グリコール酸塩	2.0	1.0	-	0.04	10.5	1	_	_	-	
組	2-17=リノエテルオククデカナミド 塩酸塩	-	1.0	2.0	-	-	_	-	-	_	
	塩化ステアリルトリッチルアンモニウム	_	_	-	1	1	2.0	1	_	_	
	ワセリン	1	_	_	_	1	-	8.0	_	_	
	グリセリン	•		-1.0		·			7.0	1.0	
	流動パラフィン	•			10	.0—					
成	ポリエチレングリコール1500	3.0									
	ステアリン酸	2.5									
	セタノール	4			1	. 5 —					
%	防腐剤	4			- 微	1	1				
	香料	-			- 微	<u> </u>	<u></u>		•		
	精製水	4			-/t :	ラン	ス—			<del>&gt;</del>	
	使用後のしっとり感(保温性)	0	0	0	Δ	0	Δ	Δ	0	×	
性	使用時のなめらかさ	0	0	0	Δ	0	Δ	Δ	Δ	×	
能	使用時のびやすさ	0	0	Ö	Δ	0	Δ	Δ	Δ	Δ	
	使用時のべとつきのなさ	0	0	0	0	Δ	0	×	×	0	

[0018] It turns out that the skin external preparations containing the component shown by the general formula (1) are excellent in a moisturizincy effect, and the mileage at the time of use is good, and it is not sticky, and has a smooth feeling of use so that clearly from Table 1 (examples 1, 2, and 3). Moreover, if the above-mentioned active principle is a little inferior to admiration gently and exceeds 10 % of the weight at less than (example 4) 0.05 % of the weight (example 5), stickiness will arise a little. On the other hand, the moistness excellent in the oils of the shape of a paste, such as a 4th class-ized ammonium type cation activator and vaseline, and water-soluble polyhydric alcohol and skin external preparations having a good use feel cannot be obtained (examples 1-4 of a comparison). [0019] Next, the example of a formula of this invention skin external preparations is shown.

The example 1 (milk lotion) of a formula

Stearin acid 2.0wt(s)% Cetanol 1.0wt(s)% Lanolin 2.0wt(s)% 2-guanidino ethyl

OKUTADEKANAMIDO acetate 1.5wt(s)% Squalane A 3.0wt% liquid paraffin 8.0wt(s)% POE(10) lauryl ether 2.0wt(s)% Propylene glycol 7.0wt% Perfume 0.4wt% Methylparaben 0.1wt% Purified water Remainder [0021]

The example 2 (vanishing cream) of a formula

A mono-myristic-acid glycerol 1.0wt(s)% Yellow bees wax 3.0wt(s)% Cetanol 4.0wt(s)% 2-guanidino ethyl tetra-DEKANAMIDO acetate 1.5wt(s)% Liquid paraffin 5.0wt(s)% Olive oil 1.5wt(s)% POE (5)

hydrogenated castor oil 1.0wt(s)% Triethanolamine 0.8wt(s)% Propylene glycol 7.0wt(s)% Perfume 0.4wt% Butylparaben 0.1wt% Purified water Remainder [0022]

The example 3 (cold cream) of a formula

Yellow bees wax 5.0wt(s)% Cetanol 8.0wt(s)% White vaseline 3.0wt(s)% Mono-palmitic-acid glycerol 1.0wt(s)% 4-guanidino butyl DODEKANAMIDO glycolic-acid salt 2.0wt% Squalane 1.0wt(s)% Liquid paraffin 20.0wt(s)% POE(7) cetyl ether 1.5wt(s)% Propylene glycol 5.0wt(s)% Perfume 0.4wt(s)% Butylparaben 0.05wt% Methylparaben 0.1wt% Purified water Remainder [0023]

The example 4 (night cream) of a formula

Solid-state paraffin 3.0wt(s)% Cetanol 3.0wt(s)% Liquid paraffin 3.0wt(s)% Dimethicone (5000cst) 5.0wt(s)% Oleic acid A 2.0wt% 2-guanidino ethyl hexa DEKANAMIDO hydrochloride 1.0wt% POE(5) glyceryl TORIISO stearate 1.0wt(s)% POE(30) nonylphenyl ether 1.0wt(s)% Perfume 0.4wt% Methylparaben 0.1wt% Butylparaben 0.1wt% Purified water Remainder

#### (19)日本国特許庁(JP)

## (12) 公開特許公報(A)

FΙ

(11)特許出願公開番号

## 特開平6-321727

(43)公開日 平成6年(1994)11月22日

技術表示箇所

(51) Int.Cl.<sup>5</sup>

酸別記号 庁内整理番号

A 6 1 K 7/00

C 9051-4C

7/48

9051-4C

9/06

G 9455-4C

審査請求 未請求 請求項の数1 FD (全 6 頁)

(21)出顧番号

特願平5-136619

(71) 出顧人 000006769

ライオン株式会社

(22)出顧日

平成5年(1993)5月14日

東京都墨田区本所1丁目3番7号

(72)発明者 大沼 克典

東京都墨田区本所1丁目3番7号 ライオ

ン株式会社内

(72)発明者 三田村 譲嗣

東京都墨田区本所1丁目3番7号 ライオ

ン株式会社内

(74)代理人 弁理士 池浦 敏明 (外1名)

#### (54) 【発明の名称】 皮膚外用剤

#### (57)【要約】

【目的】 保湿効果に優れ、使用時ののびがよく、べとつかず滑らかな使用感を有する皮膚外用剤を提供する。 【構成】 下記一般式で表わされる分子内にアミド基を持つグアニジン誘導体及びその塩の中から選ばれる1種又は2種以上を含有することを特徴とする皮膚外用剤(但し、皮膚用洗浄剤を除く)が提供される。 【化1】

$$R^{1} = \left( \begin{array}{c} C - N - A_{s} \\ O \\ H \end{array} \right) N - C \left( \begin{array}{c} NH \\ NH_{s} \end{array} \right)$$
 (1)

(但し、式中、R<sup>1</sup>は炭素数1~22の分岐状又は直鎖 状のアルキル基又はアルケニル基であり、Aは炭素数1~10の分岐状又は直鎖状のアルキレン基又はアルケニ レン基であり、mは0又は1、nは1~5の整数を示す) 【特許請求の範囲】

【請求項1】 下記一般式

【化1】

$$R^{1} \leftarrow \left( \begin{array}{c} C - N - A_{m} \\ 0 \end{array} \right)_{n} N - C \stackrel{NH}{<}_{NH_{2}}$$

(但し、式中、R1は炭素数1~22の分岐鎖状又は直鎖状のアルキル基又はアルケニル基であり、Aは炭素数1~10の分岐鎖状又は直鎖状のアルキレン基又はアルケニレン基であり、mは0又は1、nは1~5の整数を10示す)で表わされる分子内にアミド基を持つグアニジン誘導体及びその塩の中から選ばれる1種又は2種以上を含有することを特徴とする皮膚用外用剤(但し、皮膚用洗浄剤を除く)。

#### 【発明の詳細な説明】

[0001]

【産業上の利用分野】本発明は皮膚外用剤、さらに詳しくは保湿性に優れ、かつ使用時の高い延展性(のび)、平滑性を有し、良好な使用感をもつ皮膚外用剤に関する。 【0002】

【従来の技術】従来、化粧料にしっとり感、すなわち、 保湿効果を付与する目的でグリセリンや1,3-ブチレングリコール等の水溶性多価アルコールや水溶性高分子\*

$$R^{1} \leftarrow \left( \begin{array}{c} C - N - A_{m} \\ \parallel \\ O \end{array} \right) N - C \leqslant \begin{array}{c} NH \\ NH_{a} \end{array}$$
 (1)

(但し、式中、 $R^1$ は炭素数 $1\sim22$ の分岐状又は直鎖状のアルキル基又はアルケニル基であり、Aは炭素数 $1\sim10$ の分岐状又は直鎖状のアルキレン基又はアルケニレン基であり、mは0又は1、nは $1\sim5$ の整数を示す)

【0005】一般に、モノーNー置換グアニジン誘導体 は、分子内に強塩基性基であるグアニジン基を有し、こ の基はカルボキシアニオンなどの共鳴型1価アニオン種 と強固な双子性イオン体を作り得る強固な静電効果と水 素結合能とを有するので、共鳴型1価アニオン種を末端 側鎖に持つコラーゲン等のタンパク質繊維に対して極め て、大きな親和性を示し、しかも、上記アニオン種と双 子性イオンを形成することからその吸着力も強力であ 基中にアミド基を導入することにより得られる前記一般 式(1)で表わされるグアニジン誘導体は、コラーゲン 等のタンパク質との親和性が非常に強く、皮膚に良好に 吸着すると共に、アミド基の導入で水分子の固着能が向 上し、皮膚への保湿性付与効果に優れる。従って、この グアニジン誘導体を配合した皮膚外用剤は、皮膚外用剤 の使用時の感触を損なわせない量で充分な保湿効果を付 与できる。さらに、本発明によるグアニジン誘導体を皮 膚外用剤に配合することは、油成分を追加するわけでは ないので、皮膚外用剤の液性を劣化させることがなく、 \* を多量に配合することが行われてきたが、これら水溶性 多価アルコールや水溶性高分子を多く配合するとべたつ きが感じられるようになり、また塗布時にのびが悪くな り、肌へのなじみが悪いという欠点があった。また、滑 らかで油性感の良好な使用感を得る目的でラノリンやワ セリン等のペースト状の油剤を配合することが行われて きたが、油性感の良好な使用感が得られる反面、べたつ きが感じられるようになるという欠点があり、またしっ とり感をも併せもたせることは困難であった。

[0003]

【化1】

【発明が解決しようとする課題】本発明は、保湿効果に優れ、使用時ののびがよく、べとつかず滑らかな使用感を有する皮膚外用剤を提供することをその課題とする。 【0004】

【課題を解決するための手段】本発明者らは、前記課題を解決すべく鋭意検討を重ねた結果、本発明を完成するに至った。すなわち、本発明によれば、下記一般式で表わされる分子内にアミド基を持つグアニジン誘導体及びその塩の中から選ばれる1種又は2種以上を含有することを特徴とする皮膚外用剤(但し、皮膚用洗浄剤を除く)が提供される。

使用時の感触に優れている。

【0006】以下、本発明につき更に詳述する。本発明 の毛髪化粧料は、必須成分として、前記一般式(1)で 30 示される分子内にアミド基を持つグアニジン誘導体やそ の塩を含有することを特徴とする。

【0007】 CCで、一般式(1)式中の置換基R  $^1$ は、炭素数1~22、好ましくは11~19の分岐鎖 状又は直鎖状のアルキル基又はアルケニル基であり、例 えば $^1$ C1, $^1$ C1,

【0009】一般式(1)で表わされるグアニジン誘導体は、通常、塩の形で配合されるが、この場合の塩としては、具体的には塩酸塩等の無機酸塩の他、グリコール酸塩、酢酸塩、クエン酸塩、酸性アミノ酸塩等の有機酸50 塩などとして用いることができるが、水への溶解性等の

点から、無機酸塩とする場合は塩酸塩、有機酸塩とする 場合はグリコール酸塩が望ましい。本発明で用いる一般 式(1)のグアニジン誘導体及びその塩は、単独で配合 しても、2種以上を配合してもよく、また、その配合量 は特に制限されないが、皮膚外用剤中、0.05~10 % (重量%、以下同様)の範囲に規定するのがよい。配 合量が0.05%未満では保湿付与効果が充分でない場 合があり、10%を越えると経済的に不利であるばかり でなく、むしろべたついて使用時の感触が悪化する。 【0010】本発明の外用剤には、上記必須成分の他 に、油分、水、界面活性剤、保湿剤、低級アルコール、 増粘剤、酸化防止剤、キレート剤、pH調整剤、防腐 剤、香料、色素、紫外線吸収剤、ビタミン類、アミノ酸 類、水等、通常、化粧料石鹸などに用いられる原料を配 合可能である。具体的には、油分としては、オリーブ 油、ホホバ油、ヒマシ油、カカオ脂、椿油、ヤシ油、木 ロウ、クレーブシード油、アボガド油、ミンク油、卵黄 油、硬化油等の油脂類:鯨ロウ、蜜ロウ、ラノリン、カ ルナウバロウ、キャンデリラロウ等のロウ類:流動パラ フィン、セレシン、スクワラン、マイクロクリスタリン 20 水酸化ナトリウム、トリエタノールアミン、クエン酸、 ワックス、パラフィンワックス、ワセリン等の炭化水素 類:ステアリン酸、オレイン酸、ラウリン酸、ミリスチ ン酸、イソステアリン酸、ベヘニン酸等の脂肪酸類:セ タノール、ステアリルアルコール、ラノリルアルコー ル、オクチルドデカノール、ヘキシルデカノール等のア ルコール類:ミリスチン酸イソプロピル、ステアリン酸 ブチル、モノステアリン酸グリセリン、パルミチン酸イ ソプロピル、ミリスチン酸オクチルドデシル、オレイン 酸オクチルドデシル、コレステロールオレート等のエス テル類などが例示される。また、界面活性剤としては、 ステアリン酸ナトリウム、セチル硫酸ナトリウム、ポリ オキシエチレンラウリルエーテルリン酸、ラウリルリン 酸ナトリウム、パルミチン酸トリエタノール、N-アシ ルグルタミン酸ナトリウム等のアニオン界面活性剤;塩 化ステアリルジメチルベンジルアンモニウム、塩化ステ アリルトリメチルアンモニウム等のカチオン界面活性 剤;塩酸アルキルアミノエチルグリシン塩、レシチン等 の両性界面活性剤;モノステアリン酸グリセリン、モノ ステアリン酸ソルビタン、ショ糖脂肪酸エステル、モノ ステアリン酸プロピレングリコール、ポリオキシエチレ 40 リジン及びその誘導体等を例示することができる。尚、 ンオレイルエーテル、モノステアリン酸ポリエチレング リコール、モノパルミチン酸ポリオキシエチレンソルビ タン、ポリオキシエチレンヤシ脂肪酸モノエタノールア ミド、ポリオキシエチレンポリオキシプロピレングリコ ール、ポリオキシエチレンヒマシ油、ポリオキシエチレ ンラノリン等の非イオン界面活性剤等を例示することが できる。

【0011】保湿剤としては、グリセリン、1、3-ブ チレングリコール、プロピレングリコール、ソルビトー ル、ポリエチレングリコール、ジプロピレングリコール 50 ップクリーム、口紅、アンダーメークアップ、ファンデ

等の多価アルコール類;アミノ酸、乳酸ナトリウム、ビ ロリドンカルボン酸ナトリウム等のNMF成分、ヒアル ロン酸、コラーゲン、ムコ多糖類、コンドロイチン硫酸 等の水溶性高分子物質等を例示することができる。低級 アルコールとしては、エタノール、イソプロパノール等 を例示することができる。増粘剤としては、アルギン酸 ナトリウム、キサンタンガム、珪酸アルミニウム、マル メロ種子抽出物、トラガントガム、デンブン等の天然高 分子物質:メチルセルロース、ヒドロキシエチルセルロ 10 ース、カルボキシメチルセルロース、可溶性デンプン、 カチオン化セルロース等の半合成髙分子物質;カルボキ シビニルポリマー、ポリビニルアルコール等の合成高分 子物質等を例示することができる。酸化防止剤として は、ジブチルヒドロキシトルエン、ブチルヒドロキシア ニソール、没食子酸プロピル、アスコルビン酸等を例示 することができる。キレート剤としては、エデト酸二ナ トリウム、エタンヒドロキシジホスフェート、ピロリン 酸塩、ヘキサメタリン酸塩、クエン酸、酒石酸、グルコ ン酸等を例示することができる。pH調整剤としては、 クエン酸ナトリウム、ホウ酸、ホウ砂、リン酸-水素ナ トリウム等を例示することができる。防腐剤としては、 パラオキシ安息香酸メチル、パラオキシ安息香酸エチ ル、デヒドロ酢酸、サリチル酸、安息香酸、ソルビン 酸、塩化ベンザルコニウム等を例示することができる。 【0012】紫外線吸収剤としては、2-ヒドロキシー 4-メトキシベンゾフェノン、オクチルジメチルパラア ミノベンゾエート、エチルヘキシルパラメトキシサイナ メート、酸化チタン、カオリン、タルク等を例示するこ 30 とができる。ビタミン類としては、ビタミンA、ビタミ ンB、ビタミンC、ビタミンD、ビタミンE、ビタミン F、ビタミンK、ビタミンP、ビタミンU、カルニチ ン、フェルラ酸、γーオリザノール、αーリポ酸、オロ ット酸及びその誘導体等を例示することができる。アミ ノ酸類としては、グリシン、アラニン、バリン、ロイシ ン、イソロイシン、セリン、トレオニン、フェニルアラ ニン、チロシン、トリプトファン、シスチン、システィ ン、メチオニン、プロリン、ヒドロキシプロリン、アス パラギン酸、グルタミン酸、アルギニン、ヒスチジン、 任意成分は、これらに限定されるものではない。上記必 須成分と任意成分を適当に配合することにより、化粧 水、クリーム、パック剤、ローションスキンミルク、乳 液等種々の製品形態として用いることが可能である。 [0013]

【発明の効果】本発明によれば、保湿性に優れ、かつ使 用時の感触(のびやすさ、滑らかさ)も良好な皮膚外用 剤が提供される。本発明の皮膚外用剤は、各種化粧用ク リーム、乳液、化粧水、美容エッセンス、パック剤、リ

ーション、サンケア、ボディリンス、軟膏、ゼリ剤、エ アゾール剤等種々の製品形態で適用される。なお、本発 明の皮膚用外用剤においては、皮膚用洗浄剤は包含され ない。

#### [0014]

【実施例】次に、実施例及び比較例により本発明をさら に詳細に説明する。本発明は、これらにより限定される ものではない。

【0015】実施例1~5、比較例1~4

表1に示す成分組成(重量%)のクリームを調製し、使 10 平均点が1.0以上2.0未満………△ 用時のなめらかさ、のびやすさ、べとつきのなさ、及び 使用後のしっとり感について、女性パネラー20人によ り以下に示す評価点基準及び判定基準で官能評価した。 その結果を表1に併記する。

\*【0016】(評価点基準) 非常に良い ………4点

……3点 以身 ……2点 普通

非常に悪い ………0点

(判定基準)

悪い

平均点が3.0以上4.0以下……◎

……1点

平均点が2.0以上3.0未満……○

平均点が0以上1.0未満 ·······×

【表1】 [0017]

Hac	1する。		ж					_			
	成 分		夷	施	例		H	比较	例		
		1	2	3	4	5	1	2	3	4	
	4-ダアニジノブチルアデカンアミド・ グリコール酸塩	2.0	1.0	1	0.04	10.5	1	_		_	
組	2-クアニウノユテルオククテカナミト 塩酸塩	-	1.0	2.0	1	1	1	. 1	-	-	
	塩化ステアワルトリメチルアンモニウム	_	1	1	1	1	2.0	-	_	_	
	ワセリン	-	ı	1	1	1	1	8.0	_	_	
	グリセリン	-	-	-1.0					7.0	1.0	
	流動パラフィン	-			—10	. 0 —					
成	ポタエチレングリコール1500	•			3.0						
	ステアリン酸	2.5					<b>&gt;</b>				
	セタノール	-			1	. 5—					
%	防腐剤	1	-		- 微	i	ł				
	香料	-			~ 微	i	<del>-</del>				
	精製水	-			- / ·	テン	ス	<del>.</del>		-	
	使用後のしっとり軽(保温性)	0	0	0	Δ	0	Δ	Δ	0	×	
性	使用時間はあらかさ	0	0	0	Δ	0	Δ	Δ	Δ	×	
飽	使用跨のですすを	0	0	Ö	Δ	0	Δ	Δ	Δ	Δ	
	使用時のべとつきのなさ	0	0	0	0	Δ	0	×	×	0	

【0018】表1から明らかなように、一般式(1)で 示される成分を含有している皮膚外用剤は、保湿効果に 優れ、使用時ののびが良く、べとつかず、なめらかな使 用感を有していることがわかる(実施例1、2、3)。 また、上記の有効成分が0.05重量%未満(実施例 4)では、しっとり感にやや劣り、10重量%を超える と(実施例5)べたつきがやや生じる。これに対し、4% 処方例1 (ミルクローション)

※級化アンモニウムタイプのカチオン活性剤、ワセリン等 のペースト状の油剤、水溶性多価アルコールでは優れた 保湿性、良好な使用感触を併せ持った皮膚外用剤を得る ことができない(比較例1~4)。

【0019】次に、本発明皮膚外用剤の処方例を示す。 [0020]

特開平	R	_	3	2	1	7	2	7

	(5)	特開平6-321727
	7	8
	ステアリン酸	2. 0 w t %
	セタノール	1. 0 w t %
	ラノリン	2. 0 w t %
	2 – グアニジノエチルオクタデカナミド酢酸塩	1. 5 w t %
	スクワラン	3. 0 w t %
	流動パラフィン	8. 0 w t % 2. 0 w t %
	POE (10) ラウリルエーテル	2. 0 w t %
	プロビレングリコール <del>エ</del> 料	7. 0 w t % 0. 4 w t %
	香料 メチルバラベン	0. 4 w t %
	<b>精製水</b>	残部
[0021]	相殺小	724 PP
[0021]	処方例2(バニシングクリーム)	
	モノミリスチン酸グリセリン	1. 0 w t %
	ミツロウ	3. 0 w t %
	セタノール	4. 0 w t %
	2 - グアニジノエチルテトラデカナミド酢酸塩	1.5 w t %
	流動パラフィン	5. 0 w t %
	オリーブ油	1.5 w t %
	POE(5)硬化ヒマシ油	1. 0 w t %
	トリエタノールアミン	0.8wt%
	プロピレングリコール	7. 0 w t %
	香料	0.4wt%
	プチルパラベン	0. 1 w t %
	精製水	残部
[0022]		
	処方例3(コールドクリーム)	
	ミツロウ	5.0wt%
	セタノール	8. 0 w t %
	白色ワセリン	3.0wt%
	モノバルミチン酸グリセリン	1.0 w t %
	4 – グアニジノブチルドデカンアミドグリコール酸塩	2.0wt%
	スクワラン	1.0 w t %
	流動バラフィン	20.0wt%
	<b>POE(7)セチルエーテル</b>	1.5 w t %
	プロピレングリコール	5. 0 w t %
	香料	0. 4 w t %
	ブチルバラベン	0.05wt%
	メチルパラベン	0. 1 w t %
[0000]	精製水	残部
[0023]	加一份人人去人人与山、人	
	処方例4(ナイトクリーム)	3. 0 w t %
	固体パラフィン セタノール	3. 0 w t %
	た 流動パラフィン	3. 0 w t %
	が成場パラフィン ジメチコン(5000cst)	5. 0 w t %
	オレイン酸	2. 0 w t %
	<b>2 – グアニジノエチルヘキサデカナミド塩酸塩</b>	1. Owt%
	POE (5) グリセリルトリイソステアレート	1. 0 w t %
	POE (30) ノニルフェニルエーテル	1. 0 w t %

9

香料

メチルパラベン

ブチルパラベン

精製水

10

0. 4 w t %

0. 1 w t % 0. 1 w t %

残部

# This Page is Inserted by IFW Indexing and Scanning Operations and is not part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

BLACK BORDERS

IMAGE CUT OFF AT TOP, BOTTOM OR SIDES

FADED TEXT OR DRAWING

BLURRED OR ILLEGIBLE TEXT OR DRAWING

SKEWED/SLANTED IMAGES

COLOR OR BLACK AND WHITE PHOTOGRAPHS

GRAY SCALE DOCUMENTS

LINES OR MARKS ON ORIGINAL DOCUMENT

REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY

## IMAGES ARE BEST AVAILABLE COPY.

OTHER:

As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.